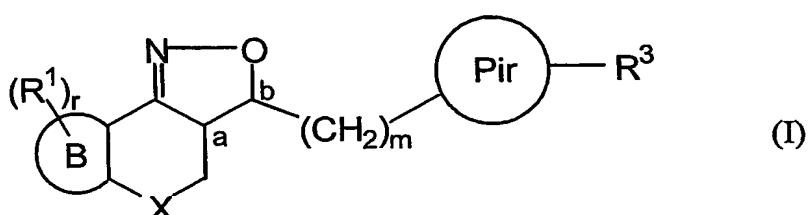


5

CLAIMS

1. A compound according to the general Formula (I)

10

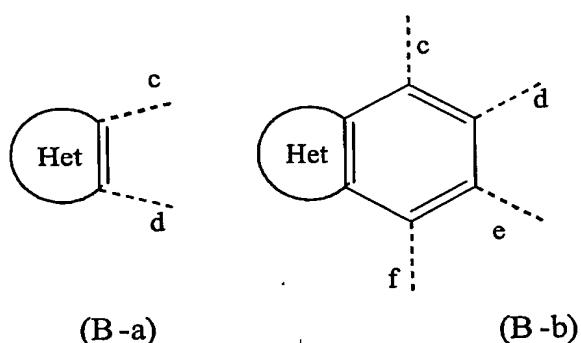


the pharmaceutically acceptable acid or base addition salts thereof, the stereochemically isomeric forms thereof and the *N*-oxide form thereof, wherein:

X is CH_2 , $\text{N}-\text{R}^7$, S or O;

15 R⁷ is selected from the group of hydrogen, alkyl, Ar, Ar-alkyl, alkylcarbonyl, alkyloxycarbonyl and mono- and dialkylaminocarbonyl;
 B is a radical, optionally substituted with r radicals R¹, according to anyone of Formula (B-a) or (B-b) and fused to the isoxazolinyl moiety by either of the bond pairs (c,d), (d,e) or (e,f)

20



wherein

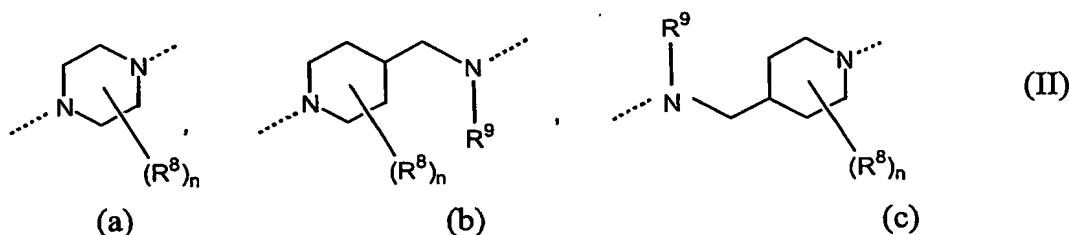
Het is an optionally substituted 5- or 6-membered heterocyclic ring, selected from the group of pyridinyl, pyrazinyl, pyrimidinyl,

25 pyridazinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolyl, oxadiazolyl and triazolyl ;

each R¹ is, independently from each other, selected from the group of hydrogen, hydroxy, amino, nitro, cyano, halo and alkyl and, only when R¹ is attached to a N-atom, is further selected from the group of alkyloxyalkyl, alkyloxyalkyloxyalkyl, alkyloxycarbonylalkyl, formyl,

-46-

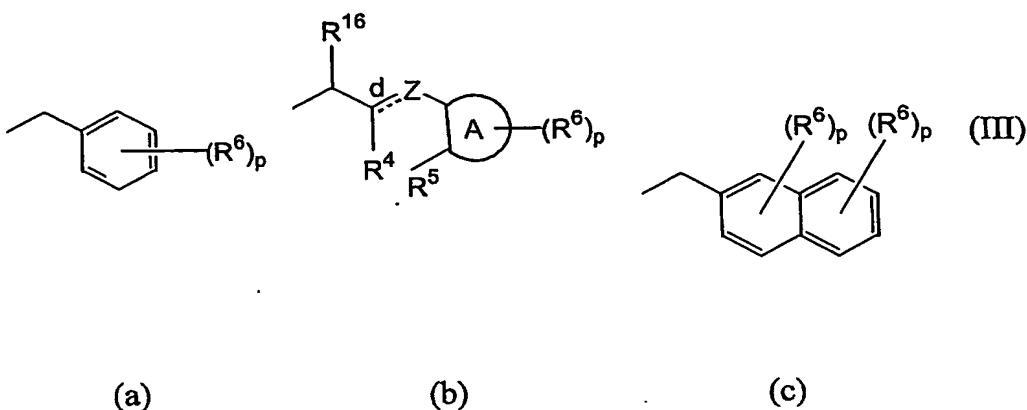
5 alkylcarbonyl, alkyloxycarbonyl, alkyloxyalkylcarbonyl and mono-
and dialkylaminocarbonyl ;
r is an integer ranging from 0 to 6 ;
a and b are asymmetric centers ;
10 $(CH_2)_m$ is a straight hydrocarbon chain of m carbon atoms, m being an integer
ranging from 1 to 4 ;
Pir is a radical according to any one of Formula (IIa), (IIb) or (IIc)



15 optionally substituted with n radicals R^8 , wherein :
each R^8 is independently from each other, selected from the group of
hydroxy, amino, nitro, cyano, halo and alkyl ;
n is an integer ranging from 0 to 5 ;
 R^9 is selected from the group of hydrogen, alkyl and formyl ;
20 R^3 represents an optionally substituted aromatic homocyclic or heterocyclic
ring system together with an optionally substituted and partially or
completely hydrogenated hydrocarbon chain of 1 to 6 atoms long with
which said ring system is attached to the Pir radical and of which may
contain one or more heteroatoms selected from the group of O, N and S;
Ar is phenyl or naphthyl, optionally substituted with one or more halo, cyano,
oxo, hydroxy, alkyl, formyl, alkyloxy or amino radicals ; and
25 alkyl represents a straight or branched saturated hydrocarbon radical having
from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical
having from 3 to 6 carbon atoms, optionally substituted with one or
more halo, cyano, oxo, hydroxy, formyl or amino radicals.

30 2. A compound according to claim 1, characterized in that R^3 is a radical
according to any one of Formula (IIIa), (IIIb) or (IIIc)

-47-



5

wherein :

d is a single bond while Z is a bivalent radical selected from the group of -CH₂-, -C(=O)-, -CH(OH)-, -C(=N-OH)-, -CH(alkyl)-, -O-, -S-, -S(=O)-, -NH- and -SH-; or d is a double bond while Z is a trivalent radical of formula =CH- or =C(alkyl)- ;

A is a 5- or 6-membered aromatic homocyclic or heterocyclic ring, selected from the group of phenyl, pyranyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, thienyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, furanyl, oxadiazolyl and isoxazolyl ;

15 p is an integer ranging from 0 to 6 ;

R⁴ and R⁵ are each, independently from each other, selected from the group of hydrogen, alkyl, Ar, biphenyl, halo and cyano ; or

20 R⁴ and R⁵ may be taken together to form a bivalent radical -R⁴-R⁵- selected from the group of -CH₂-, =CH-, -CH₂-CH₂-, -CH=CH-, -O-, -NH-, =N-, -S-, -CH₂N(-alkyl)-, -N(-alkyl)CH₂-, -CH₂NH-, -NHCH₂-, -CH=N-, -N=CH-, -CH₂O- and -OCH₂- ;

each R⁶ is independently from each other, selected from the group of hydroxy, amino, nitro, cyano, halo, carboxyl, alkyl, Ar, alkyloxy, Ar-oxy, alkylcarbonyloxy, alkyloxycarbonyl, alkylthio, mono- and di(alkyl)amino, alkylcarbonylamino, mono- and di(alkyl)aminocarbonyl, mono- and di(alkyl)aminocarbonyloxy, mono- and di(alkyl)aminoalkyloxy ; or

30 two vicinal radicals R⁶ may be taken together to form a bivalent radical -R⁶-R⁶- selected from the group of -CH₂-CH₂-O-, -O-CH₂-CH₂-, -O-CH₂-C(=O)-, -C(=O)-CH₂-O-, -O-CH₂-O-, -CH₂-O-CH₂-, -O-CH₂-CH₂-O-, -CH=CH-CH=CH-, -CH=CH-CH=N-, -CH=CH-N=CH-, -CH=N-CH=CH-, -N=CH-CH=CH-, -CH₂-CH₂-CH₂-, -CH₂-CH₂-C(=O)-, -C(=O)-CH₂-CH₂-, -CH₂-C(=O)-CH₂- and

-48-

5 -CH₂-CH₂-CH₂-CH₂- and
R¹⁶ is selected from the group of hydrogen, alkyl, Ar and Ar-alkyl.

10 3. A compound according to claim 2, characterized in that X = O ; m = 1 ; B is a radical according to Formula (B-a) or (B-b), Pir is a radical according to Formula (IIa) wherein n = 0 ; R³ is a radical according to any one of Formula (IIIa), (IIIb) or (IIIc) wherein d is a double bond while Z is a trivalent radical of formula =CH- or =C(alkyl)- ; A is a phenyl ring ; R⁴ is hydrogen or alkyl ; R⁵ and R¹⁶ are each hydrogen ; R⁶ is hydrogen or halo and p = 1.

15 4. A compound according to any one of claims 1 to 3, characterized in that Het is selected from the group of pyridinyl, thienyl and pyrrolyl, each radical optionally substituted on a N atom with a radical selected from the group of hydrogen, alkyl, hydroxyalkyl, alkyloxyalkyloxyalkyl, alkyloxycarbonylalkyl, alkylcarbonyl, alkyloxycarbonyl and alkyloxyalkylcarbonyl.

20 5. A compound which is degraded *in vivo* to yield a compound according to any one of claims 1 to 4.

25 6. A compound according to any one of claims 1 to 5 for use as a medicine.

30 7. The use of a compound according to any one of claims 1 to 5 for the manufacture of a medicament for treating depression, anxiety, movement disorders, psychosis, Parkinson's disease and body weight disorders.

35 8. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and, as active ingredient a therapeutically effective amount of a compound according to any one of claims 1 to 5.

40 9. A process for making a pharmaceutical composition according to claim 8, comprising mixing a compound according to any one of claims 1 to 5 and a pharmaceutically acceptable carrier.

45 10. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and, as active ingredient a therapeutically effective amount of a compound according to any one of claims 1 to 5 and one or more other compounds selected from the group of antidepressants, anxiolytics, anti-

-49-

5 psychotics and anti-Parkinson's disease drugs.

11. The use of a pharmaceutical composition according to claim 10 for the manufacture of a medicament to improve efficacy and/or onset of action in the treatment of depression, anxiety, movement disorders, psychosis,
10 Parkinson's disease and body weight disorders.

12. The use of a compound according to any one of claims 1 to 5 for the manufacture of a medicament for the treatment and/or prophylaxis of depression, anxiety, movement disorders, psychosis, Parkinson's disease and
15 body weight disorders, said treatment comprising the simultaneous or sequential administration of a compound according to any one of claims 1 to 5 and one or more other compounds selected from the group of antidepressants, anxiolytics, antipsychotics and anti-Parkinson's drugs.

20 13. A process for making a pharmaceutical composition according to claim 10, comprising mixing a compound according to any one of claims 1 to 5 and a compound selected from the group of antidepressants, anxiolytics, antipsychotics and anti-Parkinson's disease drugs and a pharmaceutically acceptable carrier.

25